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(54) AGENT FOR TREATING EYE DISEASES

(57) The invention relates to chemical and pharmaceutical industry, in particular to developing ophthalmological agents having a wide spectrum of action based on vegetable components, vitamins, and trace elements. The agent for treating eye diseases comprises lyophilized blueberries, dihydroquercetin, beta-carotene, selexen, lutein, vitamins B_1, B_2, B_6, and B_{12}, and zinc. The agent having a wide spectrum of action exhibits a reparative, restorative (tonic) and regenerative effect, it does not have any irritating, allergenic, inflammatory or other undesirable effect, and it avoids mechanical contact with the eye surface.

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Description

Technical field

[0001] The invention relates to chemical and pharmaceutical industry, in particular to developing ophthalmological agents having a wide spectrum of action based on vegetable components, vitamins, and trace elements.

Prior art

[0002] Known medical agents for treatment of certain eye diseases are generally used in form of drops or ointments for external application.

[0003] Known is an ophthalmological medical agent for treatment of various eye diseases based on an extract of brown algae (Russian patent no. 2104679, A 61 F 9/00).

[0004] Further known is a biologically active food additive for treatment and prevention of eye diseases comprising carrot juice, royal jelly, blueberry, ascorbic acid, rutin, vitamin E, nicotinic acid, and sugar, starch, and calcium stearate as adjuvants (Russian patent no. 21097258, A 61 K 35/78).

[0005] Known is a phytoconcentrate with therapeutic and preventive activity for patients suffering from diabetes mellitus, the concentrate comprising quercetin, dihydroquercetin, rutin or ascorutin as biologically active ingredient. The concentrate further comprises medical plants and a meat concentrate or a chicken concentrate or a vegetable concentrate. The therapeutic and preventive phytoconcentrate can be used in clinical or ambulant conditions for patients of various age, and it combines prevention and a soft treatment for human beings (Russian patent no. 2123350, A 61 K 35/78).

[0006] Further known is a biologically active food additive for prevention of vision disorders and vision correction, the addtive comprising blueberries, a dry gingko biloba extract, a fine powder of dry gingko biloba leaves, magnesium and/or calcium stearate, starch and/or microcristalline cellulose. The additive has a capillary strengthening and antiinflammatory effect on the visual organs, inhibits detrimental effect of free radicals on the visual organs and improves the overall health (Russian patent no. 2270583, A 23 L 1/30).

[0007] Known is an agent for increasing visual acuity based on an aqueous decoction of dried Schizandra berries that is either placed in conjunctival sacs for external application or applied to the eye tissue by electrophoresis (Soviet author's certificate no. 171981, A 61 K 35/78).

[0008] Known is the use of blueberry leaves and berries for medical treatment.

[0009] The use of blueberries has been proved not only to have a broad spectrum of effects on the human organism but also to improve visual acuity, to broaden the visual field and to decrease eye fatigue without action on the eye surface or traumatization of the patient's mind (Gaetan Zheyl, "Extrakt tcherniki i zrenie" ("Blueberry extract and vision"), TASS, Byulleten inostrannoy nautchno-tekhnitcheskoy informatsii TASS, 1964, 72 (962), 1964).

[0010] Further it has been established that the flavonoids (rutin, quercetin, vitamin P) that are contained in blueberry strengthen the walls of the blood vessels and regulate their permeability.

[0011] Furthermore it is known that preparations with vitamin P are used for treatment of vitamin deficiency, retinal haemorrhage, Schonlein-Henoch disease, and for restoration of neurotrophical processes in the cornea.

[0012] The above mentioned medical agents are directed to the improvement of the visual functions. However, their use in form of ointments, films or drops is associated with a mechanical contact with the eye surface and traumatizes it. Besides, each of the known agents shows a specifically directed action.

[0013] At the same time there are cases where an excessive use of the eye surface, its irritation and a corresponding psychological traumatization of the patient are highly undesirable, but an action on the visual function is necessary. In such cases medical agents are used that are administered internally *per os* in form of tablets, capsules, teas or extracts.

[0014] The technical solution that is closest to the present invention is the biologically active additive (BAA) "Okulist", comprising lyophilized blueberry berries, beta-carotene, dihydroquercetin, and selexen (TU 9197-028-17664661-05 CEZ 3??.99.29.003, July 26, 2005). This additive is recommended in case of retinopathy, night blindness, eye fatigue, glaucoma, and cataract.

[0015] Said preparation provides a number of advantages over other known preparations. The internal use avoids traumatization of the eye surface. However, it also shows a directed character of action and does not have a prolonged action.

Disclosure of the invention

[0016] It is an object of the present invention to provide an agent having a wide spectrum of action for treating eye diseases by developing a balanced complex of vegetable components, vitamins, and trace elements.

[0017] The technical effect consists in that the agent according to the invention has a reparative, restorative (tonic) and regenerative action, it is ecologically clean and does not show any irritating, allergenic, inflammatory or other undesired effect, it avoids mechanical contact with the eye surface and increases the therapeutic action, and it can also be used for supporting treatment of pathologies of the macula lutea (macular dystrophy) and the retina.

Best embodiment of the invention

[0018] Said object is achieved by the present agent for treating eye diseases comprising lyophilized blueberries,

dihydroquercetin, beta-carotene and selexen, and additionally lutein, vitamins B_1, B_2, B_6, and B_{12}, and zinc, with the following amount of the ingredients per capsule, in mg:

lyophilized blueberry berries	282.7
dihydroquercetin	17.0
beta-carotene	0.23
selexen	0.07
lutein	0.75 - 20.0
vitamin B_1	0.255 - 10.2
vitamin B_2	0.3 - 12.0
vitamin B_6	0.3 - 12.0
vitamin B_{12}	0.45 - 18.0 μg
zinc	1.8 - 80.0.

[0019] The agent can be used for improvement of vision in case of retinopathy, night blindness, eye fatigue, glaucoma, and cataract.

[0020] The agent can be used as a drug for supporting treatment of pathologies of the macula lutea (macular dystrophy) and the retina.

[0021] The agent according to the invention has provisionally been named "Okulist-kompleks".

[0022] "Okulist-komplex" is obtained by mixing the ingredients in the indicated amounts and filling the mixture into capsules.

[0023] The dosage for prevention of the diseases indicated above is three times a day one capsule during the meal.

[0024] The dosage in a supporting therapy is three times a day two capsules during the meal.

[0025] Clinical studies on volunteers have been carried out in the Central Military Clinical Hospital of the Ministry of Defense of the Russian Federation, in the Science and Research Institute for Eye Diseases "Gelmgolts" in Moscow, and in the Clinical Pediatric Hospital of the city of Morozovsk.

[0026] The results of the clinical studies lead to the following conclusions:

- in none of the cases allergic reactions or undesirable phenomenons were observed during the administration of the drug;
- during the treatment a good tolerability of the drug was observed;
- in comparison to the BAA "Okulist" in case of administration of "Okulist-kompleks" in repeated courses a positive potentiation effect was observed.

[0027] The main reason for destruction of the central part of the retina is the action of sunlight, in particular the most aggressive shortwave (UV-, violet and blue) part of its spectrum. The human eye possesses several levels of protection against an excess of solar radiation, namely, the pigmented iris that narrows the pupil, and the macula lutea which is a natural ray filter located directly in front of the most sensitive part of the retina. The colour and light-absorbing properties of the macula are ensured by two natural carotenoids that selectively accumulate in it, namely, lutein and zeaxanthin.

[0028] The human organism is not able to synthezise these substances, it is indispensable to provide them from outside.

[0029] A solution to this situation would be an increased consumption of vegetables having a high lutein and zeaxanthin content (carrots, spinach, cereals, tomatoes, peas, calendual, etc.), but also the development of pharmaceutical drugs containig these substances.

[0030] As no methods of treatment of macular retinopathy are known, at the time being these preventive measures are the only reliable means of fighting age-dependant loss of vision.

[0031] Recently biologically active additives appeared that contain lutein obtained from marigold. Studies have confirmed that lutein is well absorbed and stored in the macula lutea, allowing an increase of its density by administration of lutein as an additive (J.A. Mares-Perlman et al., Am. J. Epidemiol.-2001, vol. 62, p. 1448-1461).

[0032] Even if lutein is vital with regard to prevention of macular dystrophy, it is also very important to provide the organism, in particular patients belonging to risk groups, with further micronutrients, above all, antioxidants.

Industrial applicability

[0033] The analysis of the data on prevention of eye diseases caused by activation of free radicals and peroxidic processes, namely, cataracts and degeneration of the macula, confirms that the present complex provided a good therapeutical effect. Together with lutein that specifically controls neutralization of singlet oxygen in order to prevent degeneration of the macula, a balanced complex of substances (a vegetable component, vitamins of the B group, beta-carotene, trace elements) supports a normal vision, these substances complementing and increasing each other's effect.

Claims

1. Agent for treating eye diseases comprising lyophilized blueberry berries, dihydroquercetin, beta-carotene and selexen, **characterized in that** it additionally comprises lutein, vitamins B_1, B_2, B_6, and B_{12}, and zinc, with the following amount of the ingredients per capsule, in mg:

lyophilized blueberry berries	282.7
dihydroquercetin	17.0
beta-carotene	0.23

(continued)

selexen	0.07
lutein	0.75 - 20.0
vitamin B_1	0.255 - 10.2
vitamin B_2	0.3 - 12.0
vitamin B_6	0.3 - 12.0
vitamin B_{12}	0.45 - 18.0 μg
zinc	1.8 - 80.0.

2. The agent according to claim 1, **characterized in that** it can be used for improvement of vision in case of retinopathy, night blindness, eye fatigue, glaucoma, and cataract.

3. The agent according to claim 1, **characterized in that** it can be used for supporting treatment of pathologies of the macula lutea (macular dystrophy) and the retina.

4. The agent according to claim 2 or 3, **characterized in that** for prevention of said diseases the dosage is three times a day one capsule during the meal.

5. The agent according to claim 2 or 3, **characterized in that** in a supporting therapy the dosage is three times a day two capsules during the meal.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/RU2006/0000629

A. CLASSIFICATION OF SUBJECT MATTER

see supplemental sheet

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
A61K 36/45, 31/095, 31/07, 31/51, 31/525, 9/48, 31/4415, 31/714, 33/30, 9/00, A61P 27/06

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

Esp@cenet, PCT Online, EAPO

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	TU 9197-028-17664661 CEZ 377.99.29.003, 26.07.2005, cited in the description	1-5
Y	RU 2197258 CI (OBSCHESTVO S OGRANICHENNOI OTVETSTVENNOSTJU FIRMA "EIKOST") 27.01.2003, the abstract, page 3, right column, page 5, right column, lines 4-6	1-5
Y	Federalny reestr biologicheskikh aktivnykh dobavok r pische, M., 2002, page 457, "Formula zdorovya. Optik plus", Vita Vision"	1-5
A	RU 2201179 C2 (TUMANOVA ANNA LEONOVNA) 27.03.2003	1-5
A	RU 2280441 C2 (RAT MATTIAS) 27.07.2006	1-5

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☐ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
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"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
04 May 2007 (04.05.2007)	24 May 2007 (24.05.2007)
Name and mailing address of the ISA/ Facsimile No.	Authorized officer Telephone No.

Form PCT/ISA/210 (second sheet) (July 1998)

INTERNATIONAL SEARCH REPORT

International application No.
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C. CLASSIFICATION OF SUBJECT MATTER (continuation sheet)

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A61K 31/095 (2006.01)
A61K 31/07 (2006.01)
A61K 31/51 (2006.01)
A61K 31/525 (2006.01)
A61K 31/4415 (2006.01)
A61K 31/714 (2006.01)
A61K 33/30 (2006.01)
A61K9/48 (2006.01)
A61P 27/06 2006.01

Form PCT/ISA/210 (extra sheet) (April 2005)

REFERENCES CITED IN THE DESCRIPTION

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Patent documents cited in the description

- RU 2104679 **[0003]**
- RU 21097258 **[0004]**
- RU 2123350 **[0005]**
- RU 2270583 **[0006]**

Non-patent literature cited in the description

- **GAETAN ZHEYL.** Extrakt tcherniki i zrenie. *TASS, Byulleten inostrannoy nautchno-tekhnitcheskoy informatsii TASS,* 1964, vol. 72 (962 **[0009]**
- **J.A. MARES-PERLMAN et al.** *Am. J. Epidemiol.,* 2001, vol. 62, 1448-1461 **[0031]**